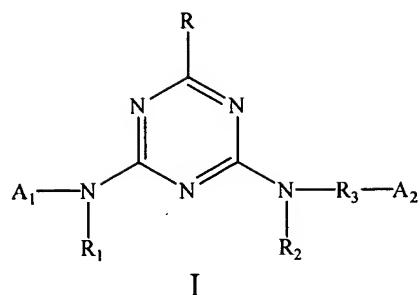


The claimed invention is:

1. A compound of Formula I:



5 or a solvate, hydrate, tautomer or pharmaceutically acceptable salt thereof, wherein

R is

-OH or -NHOR<sub>a</sub>, wherein R<sub>a</sub> is hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

A<sub>1</sub> is

10 a 5- to 6-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C<sub>1-6</sub> alkyl, amino, alkylamino, halogen, hydroxy, alkoxy, -OCO-alkyl, -OCO-alkylamino, -OCO-alkylamido, aryloxy, arylalkoxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COR<sub>a</sub>, -COOR<sub>a</sub>, -CONR<sub>a</sub>R<sub>b</sub>, -NHCOR<sub>a</sub>R<sub>b</sub>, -NHSO<sub>2</sub>R<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, 15 -SO<sub>3</sub>R<sub>a</sub> or -SO<sub>2</sub>NR<sub>a</sub>R<sub>b</sub>, wherein R<sub>a</sub> and R<sub>b</sub> are independently hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

R<sub>1</sub> is

hydrogen, alkyl, hydroxy or alkoxy;

20

R<sub>2</sub> is

hydrogen, alkyl, carboxyalkyl, cycloalkyl, heterocyclalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, hydroxyalkyl, aminoalkyl, hydroxy, alkoxy or polyalkoxyalkyl;

25

R<sub>3</sub> is

a direct link or  
C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> thioalkyl, C<sub>1-6</sub> hydroxyalkyl or C<sub>1-6</sub> carboxyalkyl;

and

30

A<sub>2</sub> is

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phenyl, naphthyl or biphenyl, each of which may be optionally substituted with one or more of C<sub>1-4</sub> alkyl, amino, aminoalkyl, halogen, hydroxy, -CF<sub>3</sub>, alkoxy, aryloxy, arylalkoxy, -OCF<sub>3</sub>, -COR<sub>c</sub>, -COOR<sub>c</sub>, -CONR<sub>c</sub>R<sub>d</sub>, -N(R<sub>1</sub>)COR<sub>c</sub>, -SO<sub>2</sub>R<sub>c</sub>, -SO<sub>3</sub>R<sub>c</sub> or -SO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>;

5

a 5- to 7-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C<sub>1-6</sub> alkyl, amino, halogen, hydroxy, alkoxy, aryloxy, arylalkoxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COR<sub>c</sub>, -COOR<sub>c</sub>, -CONR<sub>c</sub>R<sub>d</sub>, -NHCOR<sub>c</sub>R<sub>d</sub>, NHSO<sub>2</sub>R<sub>c</sub>, -SO<sub>2</sub>R<sub>c</sub>, -SO<sub>3</sub>R<sub>c</sub> or -SO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>; or

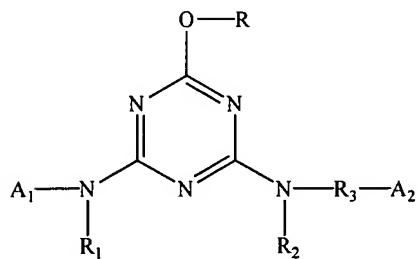
10

-COR<sub>c</sub>, -COOR<sub>c</sub> or -CONR<sub>c</sub>R<sub>d</sub>, wherein

15

R<sub>c</sub> and R<sub>d</sub> are independently hydrogen, alkyl, cycloalkyl, aryl, aralkyl, heteroaralkyl or heteroaryl.

2. A compound of Formula II:



20

or a solvate, hydrate, tautomer or pharmaceutically acceptable salt thereof, wherein

R is

-COR<sub>a</sub>, -CONR<sub>a</sub>R<sub>b</sub>, -SO<sub>2</sub>R<sub>a</sub> or -PO<sub>3</sub>R<sub>a</sub>R<sub>b</sub>, wherein R<sub>a</sub> and R<sub>b</sub> are independently hydrogen, alkyl, cycloalkyl, polyalkoxyalkyl, aryl or aralkyl;

25

A<sub>1</sub> is

a 5- to 6-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C<sub>1-6</sub> alkyl, amino, alkylamino, halogen, hydroxy, alkoxy, -OCO-alkyl, -OCO-alkylamino, -OCO-alkylamido, aryloxy, arylalkoxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COR<sub>c</sub>, -COOR<sub>c</sub>, -CONR<sub>c</sub>R<sub>d</sub>, -NHCOR<sub>c</sub>R<sub>d</sub>, -NHSO<sub>2</sub>R<sub>c</sub>, -SO<sub>2</sub>R<sub>c</sub>,

30

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-SO<sub>3</sub>R<sub>c</sub> or -SO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, wherein R<sub>c</sub> and R<sub>d</sub> are independently hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

R<sub>1</sub> is

5           hydrogen, alkyl, hydroxy or alkoxy;

R<sub>2</sub> is

10           hydrogen, alkyl, carboxyalkyl, cycloalkyl, heterocyclalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, hydroxyalkyl, aminoalkyl, hydroxy, alkoxy or polyalkoxyalkyl;

R<sub>3</sub> is

a direct link or  
C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> thioalkyl, C<sub>1-6</sub> hydroxyalkyl or C<sub>1-6</sub> carboxyalkyl;

15           and

A<sub>2</sub> is

20           phenyl, naphthyl or biphenyl, each of which may be optionally substituted with one or more of C<sub>1-4</sub> alkyl, amino, aminoalkyl, halogen, hydroxy, -CF<sub>3</sub>, alkoxy, aryloxy, arylalkoxy, -OCF<sub>3</sub>, -COR<sub>e</sub>, -COOR<sub>e</sub>, -CONR<sub>e</sub>R<sub>f</sub>, -N(R<sub>1</sub>)COR<sub>e</sub>, -SO<sub>2</sub>R<sub>e</sub>, -SO<sub>3</sub>R<sub>e</sub> or -SO<sub>2</sub>NR<sub>e</sub>R<sub>f</sub>;

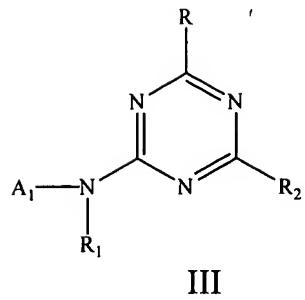
25           a 5- to 7-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C<sub>1-6</sub> alkyl, amino, halogen, hydroxy, alkoxy, aryloxy, arylalkoxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COR<sub>e</sub>, -COOR<sub>e</sub>, -CONR<sub>e</sub>R<sub>f</sub>, -NHCOR<sub>e</sub>R<sub>f</sub>, NHSO<sub>2</sub>R<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -SO<sub>3</sub>R<sub>a</sub> or -SO<sub>2</sub>NR<sub>a</sub>R<sub>b</sub>; or

30           -COR<sub>e</sub>, -COOR<sub>e</sub> or -CONR<sub>e</sub>R<sub>f</sub>, wherein

R<sub>e</sub> and R<sub>f</sub> are independently hydrogen, alkyl, cycloalkyl, aryl, aralkyl, heteroaralkyl or heteroaryl.

35    3.     A compound of Formula III:

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or a solvate, hydrate, tautomer or pharmaceutically acceptable salt thereof, wherein

R is

5 -OH or -NHOR<sub>a</sub>, wherein R<sub>a</sub> is hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

A<sub>1</sub> is

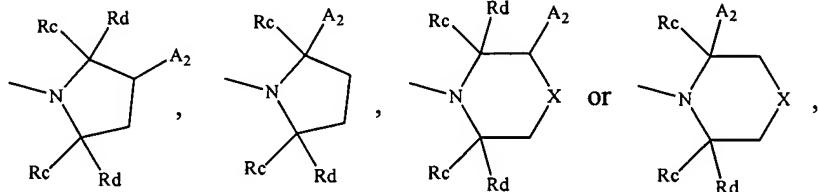
10 a 5- to 6-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C<sub>1-6</sub> alkyl, amino, alkylamino, halogen, hydroxy, alkoxy, -OCO-alkyl, -OCO-alkylamino, -OCO-alkylamido, aryloxy, arylalkoxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COR<sub>a</sub>, -COOR<sub>a</sub>, -CONR<sub>a</sub>R<sub>b</sub>, -NHCOR<sub>a</sub>R<sub>b</sub>, -NSO<sub>2</sub>R<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub> or -SO<sub>2</sub>NR<sub>a</sub>R<sub>b</sub>, wherein R<sub>a</sub> and R<sub>b</sub> are independently hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

15

R<sub>1</sub> is

hydrogen, alkyl, hydroxy or alkoxy; and

R<sub>2</sub> is



20

wherein

R<sub>c</sub> and R<sub>d</sub> are independently hydrogen or alkyl;

25

X is N, O or S; and

A<sub>2</sub> is

phenyl, naphthyl or biphenyl, each of which may be optionally substituted with one or more of C<sub>1-4</sub> alkyl, amino, aminoalkyl, halogen, hydroxy, -CF<sub>3</sub>, alkoxy,

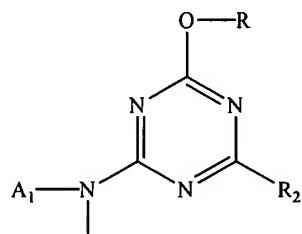
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aryloxy, arylalkoxy, -OCF<sub>3</sub>, -COR<sub>e</sub>, -COOR<sub>e</sub>, -CONR<sub>e</sub>R<sub>f</sub>, -N(R<sub>1</sub>)COR<sub>e</sub>, -SO<sub>2</sub>R<sub>e</sub>, -SO<sub>3</sub>R<sub>e</sub> or -SO<sub>2</sub>NR<sub>e</sub>R<sub>f</sub>; or

5 a 5- to 7-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C<sub>1-6</sub> alkyl, amino, halogen, hydroxy, alkoxy, aryloxy, arylalkoxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COR<sub>e</sub>, -COOR<sub>e</sub>, -CONR<sub>e</sub>R<sub>f</sub>, -NHCOR<sub>e</sub>R<sub>f</sub>, NHSO<sub>2</sub>R<sub>e</sub>, -SO<sub>2</sub>R<sub>e</sub>, -SO<sub>3</sub>R<sub>e</sub> or -SO<sub>2</sub>NR<sub>e</sub>R<sub>f</sub>, wherein

10 R<sub>e</sub> and R<sub>f</sub> are independently hydrogen, alkyl, cycloalkyl, aryl, aralkyl, heteroaralkyl or heteroaryl.

15 4. A compound of Formula IV:



IV

or a solvate, hydrate, tautomer or pharmaceutically acceptable salt thereof, wherein

R is

20 -COR<sub>a</sub>, -CONR<sub>a</sub>R<sub>b</sub>, -SO<sub>2</sub>R<sub>a</sub> or -PO<sub>3</sub>R<sub>a</sub>R<sub>b</sub>, wherein R<sub>a</sub> and R<sub>b</sub> are independently hydrogen, alkyl, cycloalkyl, polyalkoxyalkyl, aryl or aralkyl;

A<sub>1</sub> is

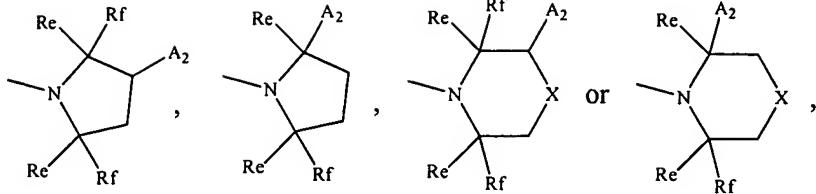
25 a 5- to 6-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C<sub>1-6</sub> alkyl, amino, alkylamino, halogen, hydroxy, alkoxy, -OCO-alkyl, -OCO-alkylamino, -OCO-alkylamido, aryloxy, arylalkoxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COR<sub>c</sub>, -COOR<sub>c</sub>, -CONR<sub>c</sub>R<sub>d</sub>, -NHCOR<sub>c</sub>R<sub>d</sub>, -NHSO<sub>2</sub>R<sub>c</sub>, -SO<sub>2</sub>R<sub>c</sub>, -SO<sub>3</sub>R<sub>c</sub> or -SO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, wherein R<sub>c</sub> and R<sub>d</sub> are independently hydrogen, alkyl, cycloalkyl, aryl or aralkyl;

30

R<sub>1</sub> is

hydrogen, alkyl, hydroxy or alkoxy; and

R<sub>2</sub> is



wherein

5      R<sub>e</sub> and R<sub>f</sub> are independently hydrogen or alkyl;

X is N, O or S; and

A<sub>2</sub> is

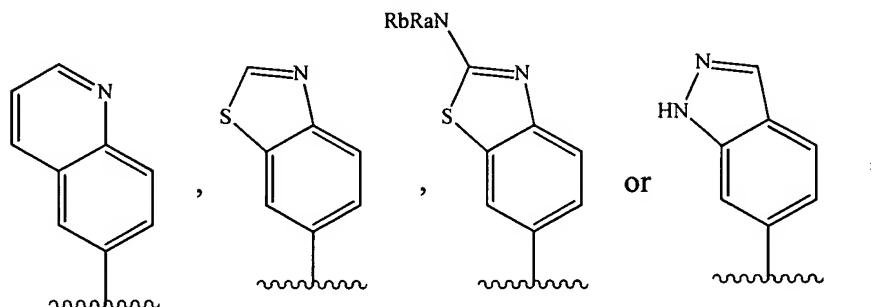
10     phenyl, naphthyl or biphenyl, each of which may be optionally substituted with one or more of C<sub>1-4</sub> alkyl, amino, aminoalkyl, halogen, hydroxy, -CF<sub>3</sub>, alkoxy, aryloxy, arylalkoxy, -OCF<sub>3</sub>, -COR<sub>g</sub>, -COOR<sub>g</sub>, -CONR<sub>g</sub>R<sub>h</sub>, -N(R<sub>1</sub>)COR<sub>g</sub>, -SO<sub>2</sub>R<sub>g</sub>, -SO<sub>3</sub>R<sub>g</sub> or -SO<sub>2</sub>NR<sub>g</sub>R<sub>h</sub>; or

15     a 5- to 7-membered mono- or a 8- to 10-membered bicyclic heteroaromatic ring having from one to four heteroatoms selected from N, O or S, and may be optionally substituted with C<sub>1-6</sub> alkyl, amino, halogen, hydroxy, alkoxy, aryloxy, arylalkoxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COR<sub>g</sub>, -COOR<sub>g</sub>, -CONR<sub>g</sub>R<sub>h</sub>, -NHCOR<sub>g</sub>R<sub>h</sub>, NHSO<sub>2</sub>R<sub>g</sub>, -SO<sub>2</sub>R<sub>g</sub>, -SO<sub>3</sub>R<sub>g</sub> or -SO<sub>2</sub>NR<sub>g</sub>R<sub>h</sub>, wherein

20     R<sub>g</sub> and R<sub>h</sub> are independently hydrogen, alkyl, cycloalkyl, aryl, aralkyl, heteroaralkyl or heteroaryl.

25     5.    A compound of claim 1, wherein

A<sub>1</sub> is



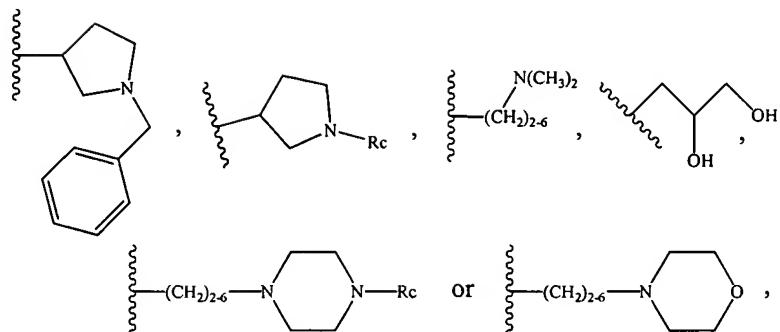
wherein R<sub>a</sub> and R<sub>b</sub> are independently -H, -C<sub>1-6</sub> alkyl, -CO<sub>2</sub>-alkyl or -CO<sub>2</sub>-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>;

R<sub>1</sub> is -H;

R<sub>2</sub> is

5

-H, -Me, -Et,



wherein R<sub>c</sub> is alkyl;

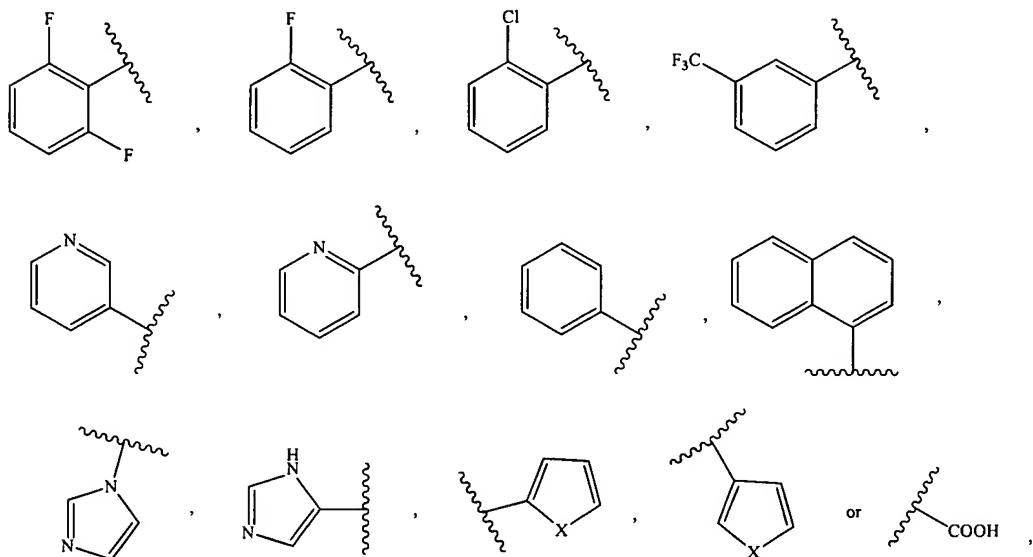
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R<sub>3</sub> is

-CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, -CH(CH<sub>3</sub>)-, -C(CH<sub>3</sub>)<sub>2</sub>-, -CH(CH<sub>2</sub>OH)- or  
-CH(CH<sub>2</sub>CH<sub>2</sub>COOH)-; and

A<sub>2</sub> is

15



wherein X is O or S.

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6. A compound of claim 1, which is one of  
4-(Benzothiazol-6-ylamino)-6-(ethyl-benzylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(methyl-benzylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(benzylamino)-[1,3,5]triazin-2-ol;  
5 (R)-4-(Benzothiazol-6-ylamino)-6-(1-phenylethylamino)-[1,3,5]triazin-2-ol;  
(S)-4-(Benzothiazol-6-ylamino)-6-(1-phenylethylamino)-[1,3,5]triazin-2-ol;  
(R)-4-(Benzothiazol-6-ylamino)-6-(methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
(S)-4-(Benzothiazol-6-ylamino)-6-(methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
(R)-4-(Benzothiazol-6-ylamino)-6-(ethyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
10 (S)-4-(Benzothiazol-6-ylamino)-6-(ethyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(2-phenylethylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(methyl-2-phenylethylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(ethyl-2-phenylethylamino)-[1,3,5]triazin-2-ol;  
15 4-(Benzothiazol-6-ylamino)-6-(2-chloro-benzylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(2-fluoro-benzylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[(pyridin-3-ylmethyl)-amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(2,6-difluoro-benzylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[methyl-(2-pyridin-2-yl-ethyl)amino]-[1,3,5]triazin-2-ol;  
20 4-(Benzothiazol-6-ylamino)-6-[pyridin-2-ylmethyl)-amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[benzyl-(1-benzyl-pyrrolidin-3-yl)-amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(3-fluoro-benzylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(2-chloro-6-methyl-benzylamino)-[1,3,5]triazin-2-ol;  
25 4-(Benzothiazol-6-ylamino)-6-(N'-methyl-N'-phenyl-hydrazino)-[1,3,5]triazin-2-ol;  
4-(benzothiazol-6-ylamino)-6-[(pyridin-4-ylmethyl)-amino]-[1,3,5]triazin-2-ol;  
4-Benzothiazol-6-ylamino)-6-(2-pyridin-3-yl-ethylamino)-[1,3,5]triazin-2-ol;  
4-Benzothiazol-6-ylamino)-6-(1-phenyl-propylamino)-[1,3,5]triazin-2-ol;

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4-Benzothiazol-6-ylamino)-6-(2-pyridin-2-yl-ethylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(1-naphthalen-1-yl-ethylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(3-hydroxymethyl-phenylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(quinolin-5-ylamino)-[1,3,5]triazin-2-ol;

5 4-(Benzothiazol-6-ylamino)-6-(4-hydroxy-naphthalen-1-ylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(1H-indazol-6-ylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[(1H-indazol-6-yl)-methylamino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(1-methyl-1H-indazol-6-ylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(6-hydroxy-naphthalen-1-ylamino)-[1,3,5]triazin-2-ol;

10 4-(Benzothiazol-6-ylamino)-6-(3-hydroxy-phenylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[2-(2-hydroxyethyl)-phenylamino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(5-thiophen-2-yl-2H-pyrazol-3-ylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(2-phenyl-2H-pyrazol-3-ylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(2,4-difluoro-benzylamino)-[1,3,5]triazin-2-ol;

15 4-(Benzothiazol-6-ylamino)-6-phenylamino-[1,3,5]triazin-2-ol;  
4-(1H-Indazol-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(2-hydroxy-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
4-(1H-Indazol-5-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-7-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;

20 4-(Benzothiazol-6-ylamino)-6-[(furan-2-yl-methyl)amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[(thiophen-2-yl-methyl)amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[(furan-3-ylmethyl)-amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[(thiophen-3-yl-methyl)amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(benzyl-pyrrolidin-3-ylamino)-[1,3,5]triazin-2-ol;

25 3-{[4-(Benzothiazol-6-ylamino)-6-hydroxy-[1,3,5]triazin-2-yl]-benzylamino}-propane-1,2-diol;  
4-(Benzothiazol-6-ylamino)-6-[benzyl-(3-morpholin-4-ylpropyl)-amino]-[1,3,5]triazin-2-ol;

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4-(Benzothiazol-6-ylamino)-6-{benzyl-[3-(4-methyl-piperazin-1-yl)-propyl]-amino}-[1,3,5]triazin-2-ol;

4-(Benzothiazol-6-ylamino)-6-[benzyl-(3-dimethylamino-propyl)-amino]-[1,3,5]triazin-2-ol;

5 4-(Benzothiazol-6-ylamino)-6-[benzyl-(2-piperazin-1-ylethyl)-amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[benzyl-(2-morpholin-4-ylethyl)-amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[benzyl-(2-dimethylamino-ethyl)-amino]-[1,3,5]triazin-2-ol;  
4-(2-Amino-benzothiazol-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
4-(1-Methyl-1-phenylethylamino)-6-(quinolin-6-ylamino)-[1,3,5]triazin-2-ol;

10 4-(Quinolin-6-ylamino)-6-(N-ethylbenzylamino)-[1,3,5]triazin-2-ol;  
4-(Quinolin-6-ylamino)-6-(N-methylbenzylamino)-[1,3,5]triazin-2-ol;  
4-(Quinolin-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-ol;  
N-[4-(Benzothiazol-6-ylamino)-6-(1-methyl-1-phenylethylamino)-[1,3,5]triazin-2-yl]-hydroxylamine;

15 4-(Benzothiazol-6-ylamino)-6-[(4-fluoro-3-trifluoromethylbenzyl)amino]-[1,3,5]triazin-2-ol;  
4-(Quinolin-6-ylamino)-6-[(4-fluoro-3-trifluoromethylbenzyl)amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(ethyl-(pyridin-2-ylmethyl)amino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(N-benzylisopropylamino)-[1,3,5]triazin-2-ol;

20 4-(Benzothiazol-6-ylamino)-6-(ethyl-(2-fluorobenzyl)amino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[benzyl-(2,2,2-trifluoroethyl)amino]-[1,3,5]triazin-2-ol;  
3-[[4-(Benzothiazol-6-ylamino)-6-hydroxy-[1,3,5]triazin-2-yl]-  
phenylethyl)amino]propane-1,2-diol;

4-(Benzothiazol-6-ylamino)-6-(ethyl-(pyridin-2-ylmethyl)amino)-[1,3,5]triazin-2-ol;

25 4-(Benzothiazol-6-ylamino)-6-(N-(2-fluorobenzyl)isopropylamino)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[ethyl-(1H-indazol-6-yl)amino]-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-{benzyl-[2-(3H-imidazol-4-yl)ethyl]amino}-[1,3,5]triazin-2-ol;

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4-(Benzothiazol-6-ylamino)-6-{2-fluorobenzyl-[2-(3H-imidazol-4-yl)ethyl]amino}-  
[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-[benzyl-(3-imidazol-1-yl-propyl)amino]-[1,3,5]triazin-2-ol;  
4-{{4-(Benzothiazol-6-ylamino)-6-hydroxy-[1,3,5]triazin-2-yl]-benzylamino}butyric acid;  
5 4-(Benzothiazol-6-ylamino)-6-{(2-piperazin-1-ylethyl)-quinolin-5-ylamino}-[1,3,5]triazin-  
2-ol; 4-(Benzothiazol-6-ylamino)-6-{benzyl-[2-(3H-imidazol-4-yl)ethyl]amino}-  
[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-ylamino)-6-(N-benzylpropylamino)-[1,3,5]triazin-2-ol;  
and pharmaceutically acceptable salts thereof.

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7. A compound of claim 3, which is one of  
4-(Benzothiazol-6-yl-amino)-6-(2-methyl-pyrrolidin-1-yl)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-yl-amino)-6-(2-benzyl-pyrrolidin-1-yl)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-yl-amino)-6-(2,6-dimethyl-piperidin-1-yl)-[1,3,5]triazin-2-ol;  
15 4-(Benzothiazol-6-yl-amino)-6-(2,5-dimethyl-pyrrolidin-1-yl)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-yl-amino)-6-(2-phenyl-pyrrolidin-1-yl)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-yl-amino)-6-(3-phenyl-thiomorpholin-4-yl)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-yl-amino)-6-(2-phenyl-thiomorpholin-4-yl)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-yl-amino)-6-(thiomorpholin-4-yl)-[1,3,5]triazin-2-ol;  
20 4-(Benzothiazol-6-yl-amino)-6-(3-methyl-piperidin-1-yl)-[1,3,5]triazin-2-ol;  
4-(Benzothiazol-6-yl-amino)-6-(morpholin-4-yl)-[1,3,5]triazin-2-ol;  
and pharmaceutically acceptable salts thereof.

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8. A pharmaceutical composition, comprising a compound of any one of claims 1 to 4  
and a pharmaceutically acceptable carrier.

9. A pharmaceutical composition, comprising a compound of claim 5 and a  
pharmaceutically acceptable carrier.

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10. A pharmaceutical composition, comprising a compound of claim 6 or 7 and a pharmaceutically acceptable carrier.

5    11. A method of preparing the compounds of Formulae I and III where R is -OH, comprising the steps of:

10       a) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with 4-methoxybenzyl alcohol to give a 2-(4-methoxybenzyloxy)-[1,3,5]triazine;

15       b) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (i) to give a 4-amino-2-(4-methoxybenzyloxy)-[1,3,5]triazine; and

20       c) displacing the third displaceable group with a primary or secondary alkyl or aromatic amine (ii) under microwave conditions with concomitant loss of the p-methoxybenzyl group to give a 4,6-diamino-(2-hydroxy)-[1,3,5]triazine.

25    12. A method of preparing the compounds of Formulae II and IV, comprising the steps of:

30       a) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with 4-methoxybenzyl alcohol to give a 2-(4-methoxybenzyloxy)-[1,3,5]triazine;

35       b) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (i) to give a 4-amino-2-(4-methoxybenzyloxy)-[1,3,5]triazine;

40       c) displacing the third displaceable group with a primary or secondary alkyl or aromatic amine (ii) under microwave conditions with concomitant loss of the p-methoxybenzyl group to give a 4,6-diamino-(2-hydroxy)-[1,3,5]triazine; and

45       d) adding an acylating, sulfonylating or phosphorylating agent to the 4,6-diamino-(2- hydroxy)-[1,3,5]triazine to give a 4,6-diamino-(2-O-acyl)-[1,3,5]triazine, a 4,6-diamino-(2-O-sulfonyl)-[1,3,5]triazine or a 4,6-diamino-(2-O-phosphoryl)-[1,3,5]triazine, respectively.

50    13. A method of claim 11 or 12, wherein the displaceable groups are chlorines.

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14. A method of preparing the compounds of Formulae I and III where R is -OH, comprising the steps of:

5           aa) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with a primary or secondary alkyl or aromatic amine (i) to give a 2-amino-[1,3,5]triazine;

10           bb) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (ii) to give a 2,4-diamino-[1,3,5]triazine; and

15           cc) displacing the third displaceable group with water under acidic conditions to give a 4,6-diamino-(2-hydroxy)-[1,3,5]triazine.

15 15. A method of preparing the compounds of Formulae I and III where R is -NHOH, comprising the steps of:

20           aa) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with a primary or secondary alkyl or aromatic amine (i) to give a 2-amino-[1,3,5]triazine;

25           bb) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (ii) to give a 2,4-diamino-[1,3,5]triazine; and

30           cc) displacing the third displaceable group with hydroxylamine under acidic conditions to give a 4,6-diamino-([1,3,5]triazin-2-yl)-hydroxylamine.

35 16. A method of preparing the compounds of Formulae II and IV, comprising the steps of:

35           aa) displacing one of three displaceable groups at the 2-, 4- and 6-positions, respectively, of a 1,3,5-triazine ring with a primary or secondary alkyl or aromatic amine (i) to give a 2-amino-[1,3,5]triazine;

40           bb) displacing the second displaceable group with a primary or secondary alkyl or aromatic amine (ii) to give a 2,4-diamino-[1,3,5]triazine;

45           cc) displacing the third displaceable group with water under acidic conditions to give a 4,6-diamino-(2-hydroxy)-[1,3,5]triazine; and

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dd) adding an acylating, sulfonylating or phosphorylating agent to the 4,6-diamino-(2-hydroxy)-[1,3,5]triazine to give a 4,6-diamino-(2-O-acyl)-[1,3,5]triazine, a 4,6-diamino-(2-O-sulfonyl)-[1,3,5]triazine or a 4,6-diamino-(2-O-phosphoryl)-[1,3,5]triazine, respectively.

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17. A method for inhibiting protein tyrosine kinase activity, comprising contacting the kinase with an effective inhibitory amount of at least one compound of any one of claims 1 to 4.

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18. A method for inhibiting protein tyrosine kinase activity, comprising contacting the kinase with an effective inhibitory amount of at least one compound of claim 5.

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19. A method for inhibiting protein tyrosine kinase activity, comprising contacting the kinase with an effective inhibitory amount of at least one compound of claim 6 or 7.

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20. A method for inhibiting protein tyrosine kinase activity in vitro, comprising contacting the kinase with at least one compound of any one of claims 1 to 4.

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21. A method for inhibiting protein tyrosine kinase activity in vitro, comprising contacting the kinase with at least one compound of claim 5.

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22. A method for inhibiting protein tyrosine kinase activity in vitro, comprising contacting the kinase with at least one compound of claim 6 or 7.

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23. A method for inhibiting protein tyrosine kinase activity in cells, comprising contacting the kinase with at least one compound of any one of claims 1 to 4.

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24. A method for inhibiting protein tyrosine kinase activity in cells, comprising contacting the kinase with at least one compound of claim 5.

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25. A method for inhibiting protein tyrosine kinase activity in cells, comprising contacting the kinase with at least one compound of claim 6 or 7.

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26. A method for inhibiting protein tyrosine kinase activity in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.

5

27. A method for inhibiting protein tyrosine kinase activity in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.

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28. A method for inhibiting protein tyrosine kinase activity in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.

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29. A method according to claim 17, wherein the protein tyrosine kinase is VEGFR-2 (KDR), c-fms, c-met or tie-2.

20

30. A method according to claim 26, wherein the protein tyrosine kinase is VEGFR-2 (KDR), c-fms, c-met or tie-2.

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31. A method of treating cancer in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.

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32. A method of treating cancer in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.

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33. A method of treating cancer in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.

34. A method of treating vascular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.

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35. A method of treating vascular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.

5 36. A method of treating vascular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.

10 37. A method of treating ocular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.

15 38. A method of treating ocular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.

20 39. A method of treating ocular diseases in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.

25 40. A method of treating restenosis in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of any one of claims 1 to 4.

30 41. A method of treating restenosis in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 5.

35 42. A method of treating restenosis in a mammal, comprising administering to the mammal a therapeutically effective amount of at least one compound of claim 6 or 7.

40 43. A pharmaceutical dosage form comprising a pharmaceutically acceptable carrier and from about 0.5 mg to about 10 g of at least one compound of any one of claims 1 to 7.

44. A dosage form according to claim 43 adapted for parenteral or oral administration.